

10/561,217

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 19:31:27 ON 19 JUN 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 18 JUN 2008 HIGHEST RN 1029146-45-9

DICTIONARY FILE UPDATES: 18 JUN 2008 HIGHEST RN 1029146-45-9

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=>

Uploading C:\Program Files\Stnexp\Queries\10561217a.str

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 19:32:12 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 498 TO 1302
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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L3 STRUCTURE UPLOADED

=> s l1 full

FULL SEARCH INITIATED 19:32:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1100 TO ITERATE

100.0% PROCESSED 1100 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L4 3 SEA SSS FUL L1

=> s l3 full

FULL SEARCH INITIATED 19:32:49 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1100 TO ITERATE

100.0% PROCESSED 1100 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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L5 0 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 356.72 356.93

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FILE LAST UPDATED: 18 Jun 2008 (20080618/ED)

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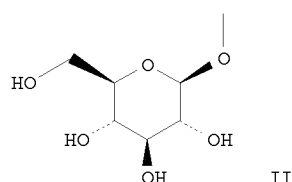
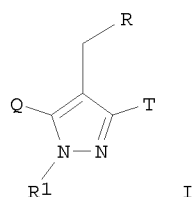
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L6 2 L4

=> d bib abs hitstr 1-2 l6

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1156566 CAPLUS
DN 142:94061
TI Preparation of pyrazole glycoside compounds as SGLT inhibitors
IN Kikuchi, Norihiko; Fujikura, Hideki; Tazawa, Shigeki; Yamato, Tokuhisa; Isaji, Masayuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

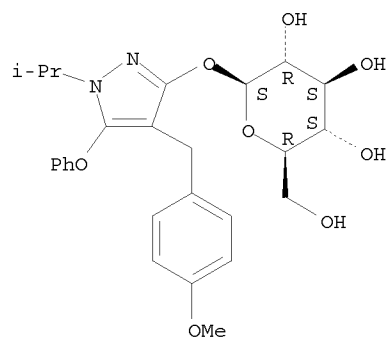
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113359	A1	20041229	WO 2004-JP8695	20040615
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2529878	A1	20041229	CA 2004-2529878	20040615
	EP 1637539	A1	20060322	EP 2004-746165	20040615
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
	US 20070060531	A1	20070315	US 2006-561217	20061113
PRAI	JP 2003-175663	A	20030620		
	WO 2004-JP8695	W	20040615		
OS	MARPAT 142:94061				
GI					

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- AB Title compds. I [R¹ = H, (un)substituted alkyl, etc.; one of Q and T is II, etc.; the other is Z-Ar; Z = O, etc.; Ar = aryl, etc.; R = (un)substituted cycloalkyl, etc.] were prepared For example, glycosidation of 1-isopropyl-4-(4-methoxybenzyl)-5-phenoxy-1,2-dihydro-3H-pyrazol-3-one by 2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl bromide in the presence of benzyltributylammonium chloride followed by deacetylation using sodium methoxide afforded compound I [R¹ = isopropyl; R = 4-methoxyphenyl; Q = phenoxy; T = II]. In SMINT inhibition assays, the IC₅₀ value of compound I [R¹ = isopropyl; R = 4-methoxyphenyl; Q = phenoxy; T = II] was 700 nM. Of note, compds. I have SGLT inhibition activity (no data provided). Compds. I are claimed useful for the treatment of diabetes, obesity, etc.
- IT 815581-48-7P 815581-49-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole glycoside compds. as SGLT inhibitors for treatment of diabetes and obesity)
- RN 815581-48-7 CAPLUS
- CN β-D-Glucopyranoside, 4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-5-phenoxy-1H-pyrazol-3-yl (CA INDEX NAME)

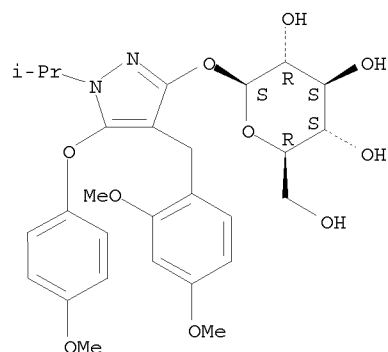
Absolute stereochemistry.



- RN 815581-49-8 CAPLUS
- CN β-D-Glucopyranoside, 4-[(2,4-dimethoxyphenyl)methyl]-5-(4-methoxyphenoxy)-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

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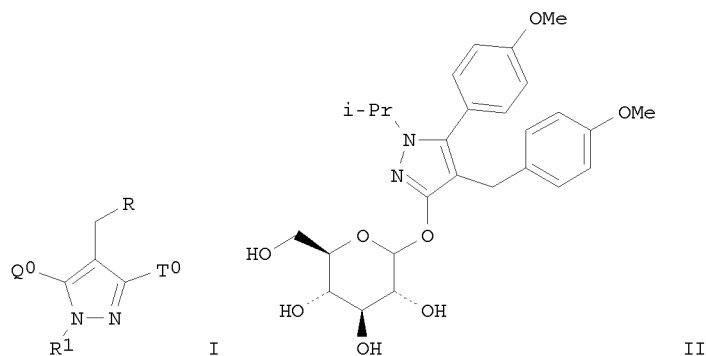


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:311011 CAPLUS
DN 140:321649
TI Preparation of pyrazolyl glycoside derivatives as inhibitors of
1,5-anhydroglucitol/fructose/mannose transporters
IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa;
Isaji, Masayuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031203	A1	20040415	WO 2003-JP12477	20030930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2500873	A1	20040415	CA 2003-2500873	20030930
	AU 2003272903	A1	20040423	AU 2003-272903	20030930
	EP 1550668	A1	20050706	EP 2003-753967	20030930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 20060128635	A1	20060615	US 2005-529895	20050919
PRAI	JP 2002-293090	A	20021004		
	JP 2002-330694	A	20021114		
	JP 2002-378959	A	20021227		
	WO 2003-JP12477	W	20030930		
OS	MARPAT 140:321649				
GI					

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AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = α - or β -D-glucopyranosyloxy or -mannopyranosyloxy or β -D-deoxyglucopyranosyloxy- and the other = (CH₂)_nAr; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- α -D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH₂Cl₂ and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β -D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl α -D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC₅₀ of 92 nM.

IT 678993-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

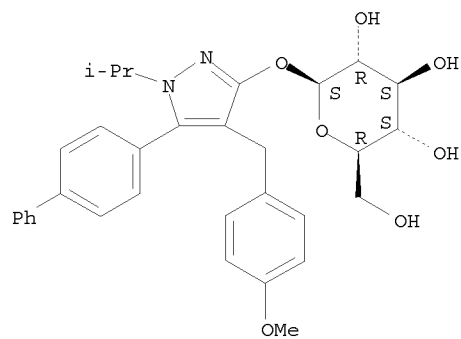
(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678993-95-8 CAPLUS

CN β -D-Glucopyranoside, 5-[1,1'-biphenyl]-4-yl-4-[(4-methoxyphenyl)methyl]-1-(1-methylethyl)-1H-pyrazol-3-yl (CA INDEX NAME)

Absolute stereochemistry.

10/561,217



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT